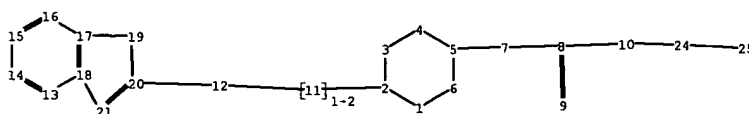
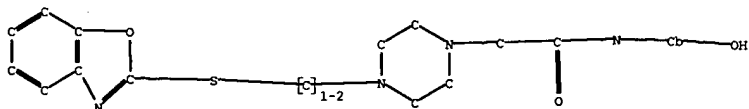


## Part I



chain nodes :

7 8 9 10 11 12 24 25

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 19 20 21

chain bonds :

2-11 5-7 7-8 8-9 8-10 10-24 11-12 12-20 24-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18  
17-19 18-21 19-20 20-21

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 4-5 5-6 5-7 8-9 8-10 11-12 12-20 17-19  
18-21 19-20 20-21

exact bonds :

7-8 10-24 24-25

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom  
18:Atom 19:Atom 20:Atom 21:Atom 24:Atom 25:CLASS

Generic attributes :

24:

Saturation : Unsaturated

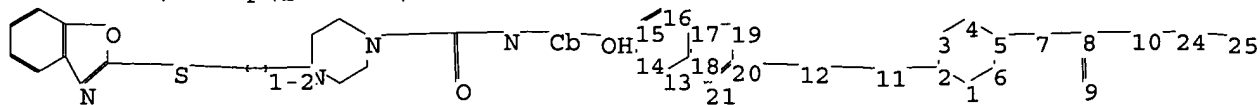
Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

10/763241

=>

Uploading C:\Documents and Settings\EBernhardt\My Documents\Stnexp\Queries\10763241.str



chain nodes :

7 8 9 10 11 12 24 25

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 19 20 21

chain bonds :

2-11 5-7 7-8 8-9 8-10 10-24 11-12 12-20 24-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 17-19

18-21 19-20 20-21

exact/norm bonds :

1-2 1-6 2-3 2-11 3-4 4-5 5-6 5-7 8-9 8-10 11-12 12-20 17-19 18-21

19-20 20-21

exact bonds :

7-8 10-24 24-25

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

20:Atom 21:Atom 24:Atom 25:CLASS

Generic attributes :

24:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 18:45:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 18:45:54 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 128 TO ITERATE

10/763241

100.0% PROCESSED 128 ITERATIONS  
SEARCH TIME: 00.00.01

7 ANSWERS

L3 7 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

168.70

168.91

FILE 'CAPLUS' ENTERED AT 18:45:59 ON 02 FEB 2006

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FILE COVERS 1907 - 2 Feb 2006 VOL 144 ISS 6

FILE LAST UPDATED: 1 Feb 2006 (20060201/ED)

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=> s l3

L4 4 L3

=> d l4 1-3 bib abs hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:672882 CAPLUS

DN 143:172898

TI A preparation of [(benzoxazolylthio)ethyl]piperazine derivative, useful as acyl coenzyme A cholesterol acyltransferase (ACAT) inhibitor

IN Shibuya, Kimiyuki; Miura, Toru

PA Kowa Co., Ltd., Japan

SO U.S. Pat. Appl. Publ., 10 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

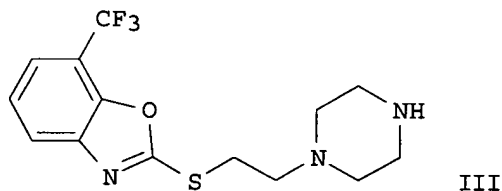
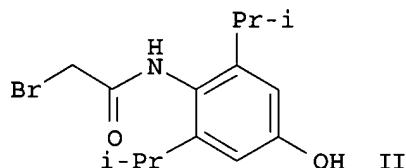
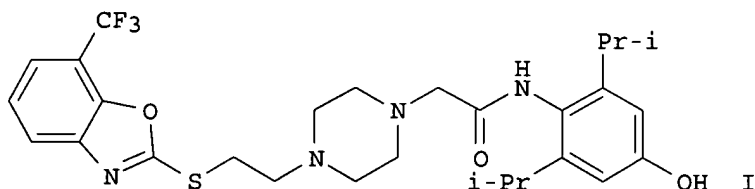
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	WO 2005070907	A1	20050804	WO 2005-JP1297	20050125
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				

Apps

10/763241

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG

PRAI US 2004-763241 A 20040126  
GI



AB The invention relates to a prepn. of [(benzoxazolylthio)ethyl]piperazine deriv. (I), useful as acyl CoA cholesterol acyltransferase (ACAT) inhibitor. The above-described compd. has both an inhibitory action on ACAT in the artery wall and remarkably high metabolic resistance in human liver microsomes, and exhibits excellent effects for suppressing lipids depression in aorta. The invention compd. is useful as a highly effective preventive or remedy for hyperlipidemia and arteriosclerosis with less side effects. Compd. I was prepd. via amination of bromoacetamide deriv. II with di-trifluoroacetate of piperazine deriv. III and subsequent hydrolysis (yields: amination - 91.1%, hydrolysis - 86.6%).

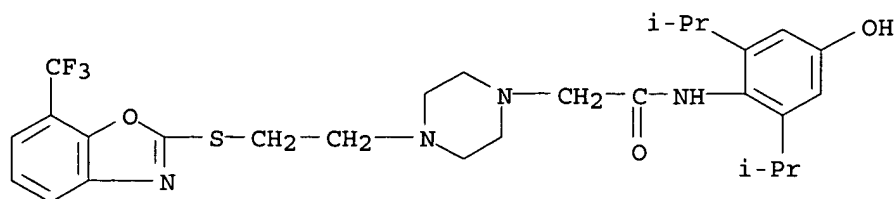
IT 500735-37-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(benzoxazolylthio)ethyl]piperazine deriv. useful as acyl CoA cholesterol acyltransferase (ACAT) inhibitor)

RN 500735-37-5 CAPLUS

CN 1-Piperazineacetamide, N-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]-4-[2-[[7-(trifluoromethyl)-2-benzoxazolyl]thio]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:162460 CAPLUS  
 DN 140:217669  
 TI Preparation of novel cyclic diamine compounds as inhibitors of acyl CoA  
 cholesterol acyltransferase (ACAT)  
 IN Shibuya, Kimiyuki; Kawamine, Katsumi; Sato, Yukihiro; Miura, Toru; Ozaki,  
 Chiyoka; Edano, Toshiyuki; Hirata, Mitsuteru; Ohgiya, Tadaaki  
 PA Kowa Company, Ltd., Japan  
 SO U.S. Pat. Appl. Publ., 95 pp., Cont.-in-part of U.S. Ser. No. 424,417,  
 abandoned.

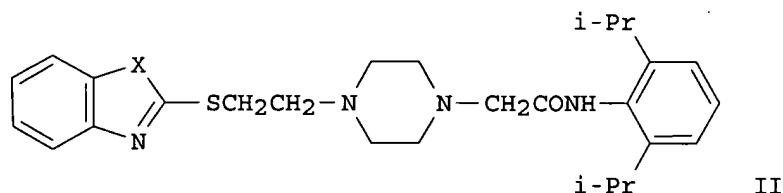
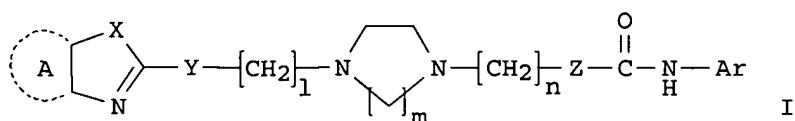
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

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PI	US 2004038987	A1	20040226	US 2003-371234	20030220
	US 6969711	B2	20051129		
→	WO 9854153	A1	19981203	WO 1998-JP2300	19980526
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRAI	JP 1997-149892	A	19970526		
	WO 1998-JP2300	A	19980526		
	US 2000-424417	B2	20000330		
OS	MARPAT 140:217669				
GI					



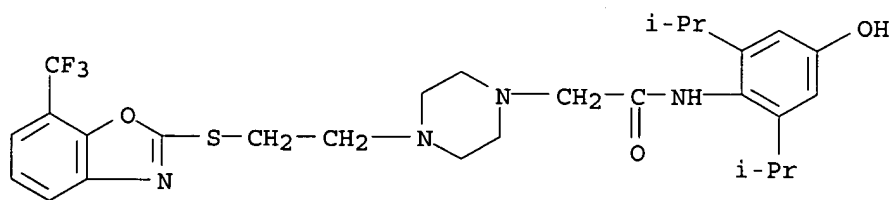
AB The title substituted piperazines and homopiperazines (1,4-diazepines) I [ring A = (un)substituted benzene, pyridine, cyclohexane, or naphthalene or vinylene divalent residue; Ar = (un)substituted aryl; X = NH, O, S; Y = NR<sub>1</sub>, O, S, SO, SO<sub>2</sub>; Z = single bond or NR<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, (un)substituted alkyl, aryl, silylalkyl; l = 0-15; m = 2-3; n = 0-3] and salts or solvates, useful for therapy or prevention of hyperlipidemia, arteriosclerosis, cerebrovascular disorder, ischemic cardiopathy, ischemic entheropathy or aortic aneurysm, were prepd. Thus, N-(2,6-diisopropylphenyl)-2-[4-(2-hydroxyethyl)piperazin-1-yl]acetamide was mesylated in the presence of Et<sub>3</sub>N and 4-dimethylaminopyridine in THF and then condensed with 2-mercaptobenzoxazole to give the title compd. [II; X = O]. The latter compd. and II [X = NH] showed IC<sub>50</sub> of 0.024 and 0.011 .mu.M against ACAT derived from rabbit blood cell wall, resp., and 0.045 and 0.051 against ACAT derived from rabbit small intestine, resp. The pharmaceutical compn. comprising the compd. I is claimed.

IT 500735-37-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of substituted (homo)piperazines as inhibitors of acyl CoA cholesterol acyltransferase (ACAT))

RN 500735-37-5 CAPLUS

CN 1-Piperazineacetamide, N-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]-4-[2-[[7-(trifluoromethyl)-2-benzoxazolyl]thio]ethyl]- (9CI) (CA INDEX NAME)



IT 217094-88-7P 217094-93-4P 217094-99-0P

500735-38-6P 664340-14-1P

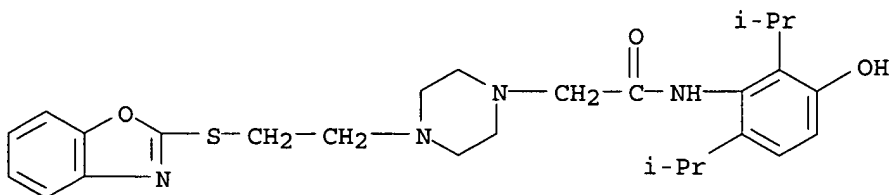
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted (homo)piperazines as inhibitors of acyl CoA cholesterol acyltransferase (ACAT))

RN 217094-88-7 CAPLUS

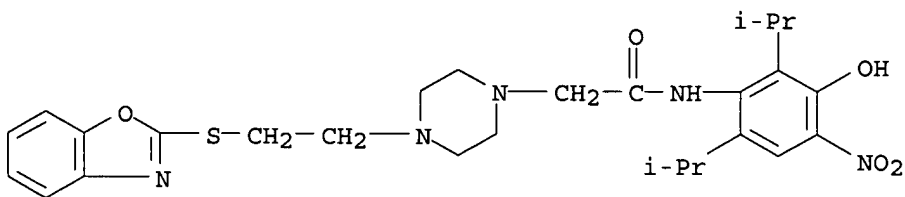
10/763241

CN 1-Piperazineacetamide, 4-[2-(2-benzoxazolylthio)ethyl]-N-[3-hydroxy-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



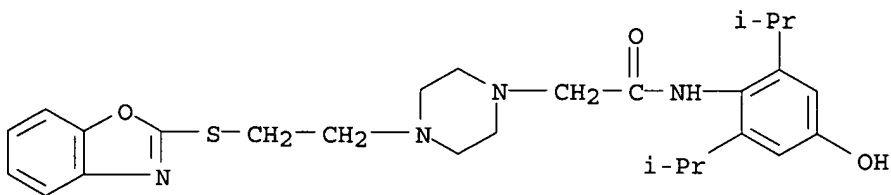
RN 217094-93-4 CAPLUS

CN 1-Piperazineacetamide, 4-[2-(2-benzoxazolylthio)ethyl]-N-[3-hydroxy-2,6-bis(1-methylethyl)-4-nitrophenyl]- (9CI) (CA INDEX NAME)



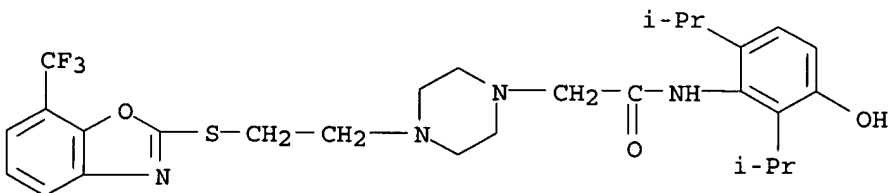
RN 217094-99-0 CAPLUS

CN 1-Piperazineacetamide, 4-[2-(2-benzoxazolylthio)ethyl]-N-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



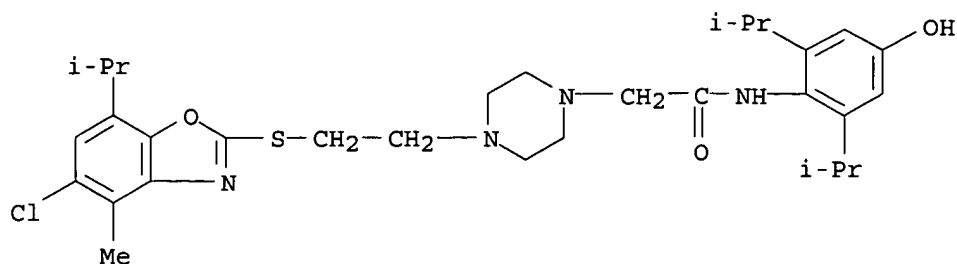
RN 500735-38-6 CAPLUS

CN 1-Piperazineacetamide, N-[3-hydroxy-2,6-bis(1-methylethyl)phenyl]-4-[2-[[7-(trifluoromethyl)-2-benzoxazolyl]thio]ethyl]- (9CI) (CA INDEX NAME)



RN 664340-14-1 CAPLUS

CN 1-Piperazineacetamide, 4-[2-[[5-chloro-4-methyl-7-(1-methylethyl)-2-benzoxazolyl]thio]ethyl]-N-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

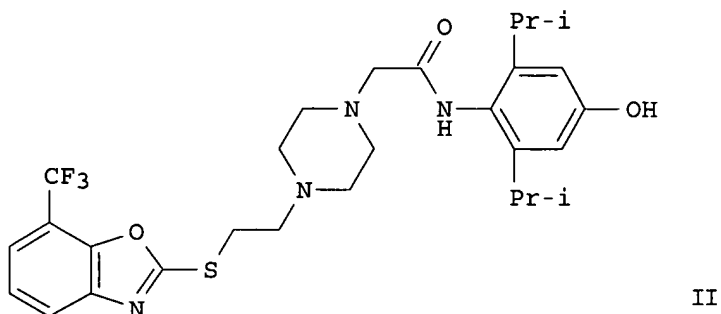
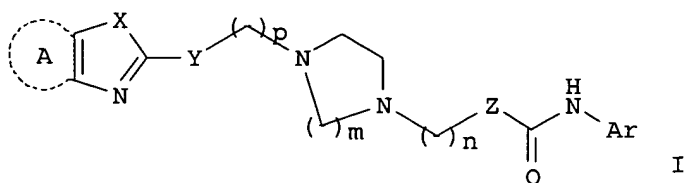


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:173590 CAPLUS  
DN 138:221603  
TI Preparation of 2-[4-[2-(7-trifluoromethylbenzoxazol-2-ylthio)ethyl]piperidin-1-yl]-N-(2,6-diisopropyl-4-hydroxyphenyl)acetamide as vascular wall-selective ACAT inhibitor for treatment of hyperlipidemia and arteriosclerosis  
IN Shibuya, Kimiyuki; Kawamine, Katsumi; Oogiya, Tadaaki; Kitamura, Takahiro; Miura, Toru; Edano, Toshiyuki; Yoshinaka, Yasunobu; Yamada, Youichi  
PA Kowa Co., Ltd., Japan  
SO PCT Int. Appl., 42 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003018564	A1	20030306	WO 2002-JP8343	20020819
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1431294	A1	20040623	EP 2002-760658	20020819
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PRAI JP 2001-251099	A	20010822		
WO 2002-JP8343	W	20020819		
OS MARPAT 138:221603				
GI				





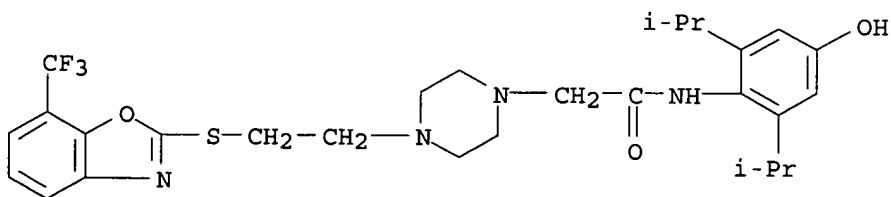
AB The title compds. I [wherein A = (un)substituted Ph, Py, cyclohexyl, naphthyl, or none; Ar = (un)substituted aryl; X = NH, O, or S; Y = O, S, SO, SO<sub>2</sub>, or (un)substituted imino; Z = a single bond or (un)substituted imino; p = 0-15; m = 2-3; n = 0-3] and salts or solvates thereof are prepd. as acyl CoA cholesterol acyltransferase (ACAT) inhibitors, which have good macrophage selectivity, for the treatment of hyperlipidemia and arteriosclerosis. For example, the compd. II.bul.HCl was prepd. in a 4-step synthesis comprising a coupling reaction in good yield. II.bul.HCl showed IC<sub>50</sub> of 65 and 2900 nM in vitro against J774 and HepG2 cell, resp., with a macrophage selectivity of 45.

IT 500735-37-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(ACAT inhibitor; prepn. of [[(benzoxazolylthio)ethyl]piperidinylacetamide as vascular wall-selective ACAT inhibitor for treatment of hyperlipidemia and arteriosclerosis)

RN 500735-37-5 CAPLUS

CN 1-Piperazineacetamide, N-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]-4-[2-[[7-(trifluoromethyl)-2-benzoxazolyl]thio]ethyl]- (9CI) (CA INDEX NAME)



IT 500735-36-4P 500735-38-6P

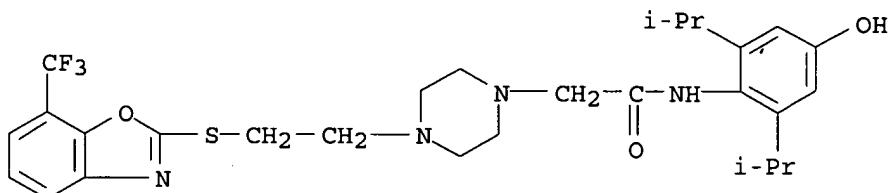
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(ACAT inhibitor; prepn. of [[(benzoxazolylthio)ethyl]piperidinylacetamide as vascular wall-selective ACAT inhibitor for treatment of hyperlipidemia and arteriosclerosis)

10/763241

RN 500735-36-4 CAPLUS

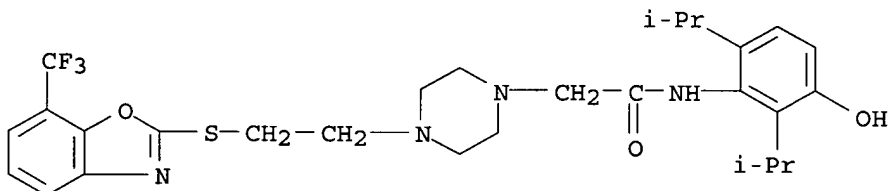
CN 1-Piperazineacetamide, N-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]-4-[2-[[7-(trifluoromethyl)-2-benzoxazolyl]thio]ethyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

RN 500735-38-6 CAPLUS

CN 1-Piperazineacetamide, N-[3-hydroxy-2,6-bis(1-methylethyl)phenyl]-4-[2-[[7-(trifluoromethyl)-2-benzoxazolyl]thio]ethyl]- (9CI) (CA INDEX NAME)



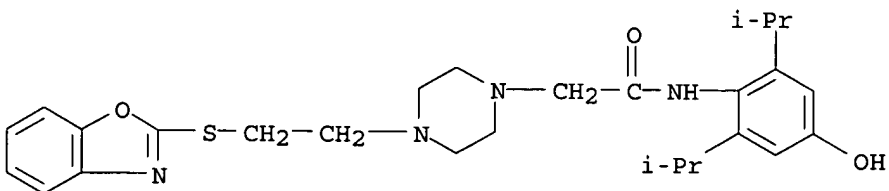
IT 217094-99-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ACAT inhibitor; prepn. of [[(benzoxazolylthio)ethyl]piperidinyl]acetamide as vascular wall-selective ACAT inhibitor for treatment of hyperlipidemia and arteriosclerosis)

RN 217094-99-0 CAPLUS

CN 1-Piperazineacetamide, 4-[2-(2-benzoxazolylthio)ethyl]-N-[4-hydroxy-2,6-bis(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

10/763241

	ENTRY	SESSION
FULL ESTIMATED COST	15.79	184.70
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-2.25	-2.25

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FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L5 0 L3

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SESSION WILL BE HELD FOR 60 MINUTES  
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